

(19) World Intellectual Property  
Organization  
International Bureau



(43) International Publication Date  
6 October 2005 (06.10.2005)

PCT

(10) International Publication Number  
**WO 2005/092836 A1**

(51) International Patent Classification<sup>7</sup>: **C07C 217/56**,  
317/32, 233/25, 217/90, 233/73, 235/18, 323/41, C07D  
213/82, 307/68, 295/20, 261/18, 333/38, 231/14, 285/06,  
241/44

MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG,  
PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ,  
TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA,  
ZM, ZW.

(21) International Application Number:  
PCT/US2005/006723

(84) Designated States (*unless otherwise indicated, for every  
kind of regional protection available*): ARIPO (BW, GH,  
GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM,  
ZW), Eurasian (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM),  
European (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI,  
FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO,  
SE, SI, SK, TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN,  
GQ, GW, ML, MR, NE, SN, TD, TG).

(22) International Filing Date: 2 March 2005 (02.03.2005)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:  
60/553,175 15 March 2004 (15.03.2004) US

#### Declarations under Rule 4.17:

— as to applicant's entitlement to apply for and be granted  
a patent (Rule 4.17(ii)) for the following designations AE,  
AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ,  
CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE,  
EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS,  
JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA,  
MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM,  
PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY,  
TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA,  
ZM, ZW, ARIPO patent (BW, GH, GM, KE, LS, MW, MZ,  
NA, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian patent (AM,  
AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT,  
BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR,  
HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK,  
TR), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, GQ,  
GW, ML, MR, NE, SN, TD, TG)

— as to the applicant's entitlement to claim the priority of the  
earlier application (Rule 4.17(iii)) for the following desig-  
nations AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW,  
BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ,  
EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID,  
IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU,  
LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ,  
OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,  
TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA,

[Continued on next page]

(71) Applicant (for all designated States except US): **ELI  
LILLY AND COMPANY** [US/US]; Lilly Corporate  
Center, Indianapolis, Indiana 46285 (US).

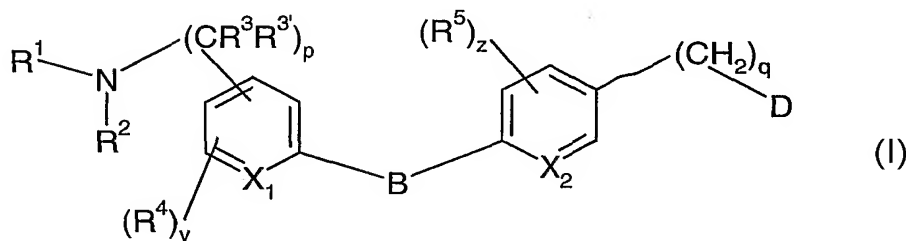
(72) Inventors; and

(75) Inventors/Applicants (for US only): **CHAPPELL,**  
**Mark, Donald** [US/US]; 541 Pitney Drive, Noblesville,  
Indiana 46060 (US). **MITCH, Charles, Howard** [US/US];  
3210 Grove Parkway, Columbus, Indiana 47203 (US).  
**QUIMBY, Steven, James** [US/US]; 10657 Kestrel  
Court, Noblesville, Indiana 46060 (US). **SIEGEL, Miles,**  
**Goodman** [US/US]; 1708 West 74th Place, Indianapolis,  
Indiana 46260 (US).

(74) Agents: **GINAH, Francis, O.** et al.; ELI LILLY AND  
COMPANY, P. O. Box 6288, Indianapolis, Indiana 46206-  
6288 (US).

(81) Designated States (*unless otherwise indicated, for every  
kind of national protection available*): AE, AG, AL, AM,  
AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN,  
CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI,  
GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE,  
KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD,

(54) Title: OPIOID RECEPTOR ANTAGONISTS



(57) Abstract: A compound of the formula (I) wherein the variables X<sub>1</sub>, X<sub>2</sub>, B, D, R<sup>1</sup> to R<sup>7</sup> including R<sup>3</sup>, p, y, q, and z, are as defined or a pharmaceutically acceptable salt, solvate, enantiomer, racemate, diastereomer or mixtures thereof, useful for the treatment, prevention or amelioration of obesity and Related Diseases is disclosed.



WO 2005/092836 A1



ZM, ZW, ARIPO patent (BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG)

— of inventorship (Rule 4.17(iv)) for US only

**Published:**

— with international search report

— before the expiration of the time limit for amending the claims and to be republished in the event of receipt of amendments

For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.